

**Abstract**

Compounds, pharmaceutical compositions including the compounds, and methods of preparation and use thereof are disclosed. The compounds are N-aryl diazaspirocyclic compounds, bridged analogs of N-heteroaryl diazaspirocyclic compounds, or prodrugs or metabolites of these compounds. The aryl group can be a five- or six-membered heterocyclic ring (heteroaryl). The compounds and compositions can be used to treat and/or prevent a wide variety of conditions or disorders, particularly those disorders characterized by dysfunction of nicotinic cholinergic neurotransmission, including disorders involving neuromodulation of neurotransmitter release, such as dopamine release. CNS disorders, which are characterized by an alteration in normal neurotransmitter release, are another example of disorders that can be treated and/or prevented. The compounds and compositions can also be used to alleviate pain. The compounds can: (i) alter the number of nicotinic cholinergic receptors of the brain of the patient, (ii) exhibit neuroprotective effects and (iii) when employed in effective amounts, not result in appreciable adverse side effects (e.g., side effects such as significant increases in blood pressure and heart rate, significant negative effects upon the gastro-intestinal tract, and significant effects upon skeletal muscle).